

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: Sabha Qay Examiner #: 74141 Date: 3/10/06
 Art Unit: 1616 Phone Number: 20622 Serial Number: 10/540/037
 Location (Bldg/Room#): 4A45 (Mailbox #): 4070 Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: Fungicides
 Inventors (please provide full names): CROWLEY et al

Earliest Priority Date: 12/23/02 371 of PCT/GB03/05148

Search Topic:

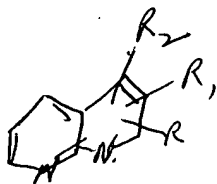
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Cl 1-10+11-12

Please search for the compts of formula

1 in cl 1.



when W, X + Y are C
and Z is N.

Note that R_1 can be NR^3R^4 where
 $R_3 + R_4$ can also form heterocyclic gp.
 such as morpholine thiamorpholine.

Please see attached sheets

Thank you

STAFF USE ONLY

Searcher: _____	Type of Search	Vendors and cost where applicable
Searcher Phone #: _____	____ NA Sequence (#)	____ STN ____ Dialog
Searcher Location: _____	____ AA Sequence (#)	____ Questel/Orbit ____ Lexis/Nexis
Date Searcher Picked Up: _____	____ Structure (#)	____ Westlaw ____ WWW/Internet
	____ Bibliographic	____ In-house sequence systems
Date Completed: _____	____ Litigation	____ Commercial ____ Oligomer ____ Score/Length
Searcher Prep & Review Time: _____	____ Fulltext	____ Interference ____ SPDI ____ Encode/Transl
Online Time: _____	____ Other	____ Other (specify)

=> d his ful

(FILE 'HOME' ENTERED AT 15:17:04 ON 23 MAR 2006)

FILE 'REGISTRY' ENTERED AT 15:17:10 ON 23 MAR 2006

L1 STR
L2 STR
L3 0 SEA SSS SAM L1 AND L2
L4 4 SEA SSS FUL L1 AND L2
D SCA

FILE 'HCAPLUS' ENTERED AT 15:18:55 ON 23 MAR 2006

L5 2 SEA ABB=ON PLU=ON L4
E US2005-540037/APPS
E WO2003-GB5248/APPS
L6 1 SEA ABB=ON PLU=ON (WO2003-GB5248/AP OR WO2003-GB5248/PRN)
L7 1 SEA ABB=ON PLU=ON L6 AND L4
L8 1 SEA ABB=ON PLU=ON L5 AND L6

FILE 'BEILSTEIN' ENTERED AT 15:20:23 ON 23 MAR 2006

L9 0 SEA SSS SAM L1 AND L2
L10 3 SEA SSS FUL L1 AND L2
L11 0 SEA ABB=ON PLU=ON L10/COM

FILE 'MARPAT' ENTERED AT 15:22:22 ON 23 MAR 2006

L12 25 SEA SSS SAM L1
L13 550 SEA SSS FUL L1
L14 5 SEA SUB=L13 SSS SAM L2
L15 97 SEA SUB=L13 SSS FUL L2
D QHIT
L16 97 SEA ABB=ON PLU=ON L15/COM
L17 STR L1
L18 12 SEA SUB=L13 SSS FUL L17
L19 10 SEA ABB=ON PLU=ON L18 NOT L5

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 MAR 2006 HIGHEST RN 877591-95-2

DICTIONARY FILE UPDATES: 21 MAR 2006 HIGHEST RN 877591-95-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

FILE HCAPLUS

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 23 Mar 2006 VOL 144 ISS 13

FILE LAST UPDATED: 22 Mar 2006 (20060322/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BEILSTEIN

FILE LAST UPDATED ON MARCH 15, 2006

FILE COVERS 1771 TO 2006.

FILE CONTAINS 9,516,393 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *

* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *

* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *

* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *

* FOR PRICE INFORMATION SEE HELP COST *

NEW

- * PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.
- * NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

FILE MARPAT

FILE CONTENT: 1961-PRESENT VOL 144 ISS 12 (20060317/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	2006035965	16	FEB	2006
DE	102004030305	12	JAN	2006
EP	1614691	11	JAN	2006
JP	2006008639	12	JAN	2006
WO	2006012333	02	FEB	2006
GB	2415429	28	DEC	2005
FR	2873371	27	JAN	2006
RU	2267521	10	JAN	2006
CA	2472818	30	DEC	2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> fil hcap

FILE 'HCAPLUS' ENTERED AT 15:25:41 ON 23 MAR 2006

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 23 Mar 2006 VOL 144 ISS 13

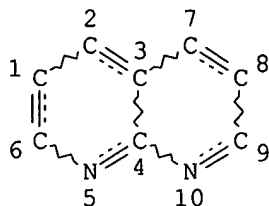
FILE LAST UPDATED: 22 Mar 2006 (20060322/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d que stat 15

L1 STR



NODE ATTRIBUTES:

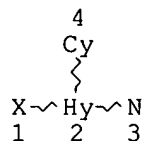
CONNECT IS E2 RC AT 1
 CONNECT IS E2 RC AT 2
 CONNECT IS E2 RC AT 6
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L2 STR



NODE ATTRIBUTES:

NSPEC IS RC AT 3
 CONNECT IS M2 RC AT 3
 DEFAULT MLEVEL IS ATOM
 GGCAT IS PCY UNS AT 2
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS E8 C E2 N AT 2

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 4

STEREO ATTRIBUTES: NONE

L4 4 SEA FILE=REGISTRY SSS FUL L1 AND L2
 L5 2. SEA FILE=HCAPLUS ABB=ON PLU=ON L4

=> d.l5 ibib abs hitstr 1-2

L5 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:99502 HCAPLUS

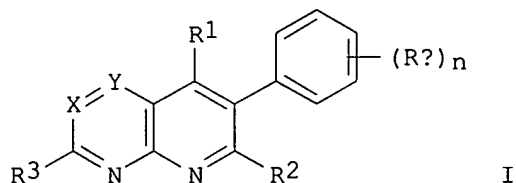
DOCUMENT NUMBER: 142:198091

TITLE: Preparation of pyridopyridines and pyridopyrimidines as agrochemical fungicides.

INVENTOR(S): Wagner, Oliver; Grote, Thomas; Blettner, Carsten; Gewehr, Markus; Grammenos, Wassilios; Gypser, Andreas; Mueller, Bernd; Rheinheimer, Joachim; Schaefer, Peter; Schieweck, Frank; Schwoegler, Anja; Tormo, I. Blasco Jordi; Akers, Alan; Speakman, John-Bryan; Rack, Michael; Stierl, Reinhard; Scherer, Maria; Strathmann,

PATENT ASSIGNEE(S): Siegfried; Schoefl, Ulrich
 SOURCE: BASF Aktiengesellschaft, Germany
 PCT Int. Appl., 60 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005010000	A2	20050203	WO 2004-EP7924	20040715
WO 2005010000	A3	20050519		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2532917	AA	20050203	CA 2004-2532917	20040715
PRIORITY APPLN. INFO.:			DE 2003-10332790	A 20030718
			WO 2004-EP7924	W 20040715
OTHER SOURCE(S):		MARPAT 142:198091		
GI				



AB Title compds. [I; X, Y = N, CR4; n = 1-5; Ra = halo, cyano, alkyl, alkoxy, halogenalkyl, halogenalkoxy, alkenyl, alkenyloxy, COR5; R1, R2 = halo, cyano, alkyl, haloalkyl, alkenyl, alkynyl, halo, OR6, SR6, NR7R8, (halo- and/or alkyl-substituted) cycloalkyl, cycloalkenyl; R3 = H, alkyl, halogenalkyl, cycloalkyl, optionally mono- or polysubstituted by alkyl and/or halo; R4 = H, halo, alkyl, haloalkyl, (alkyl and/or halo-substituted) cycloalkyl; R5 = H, OH, alkyl, alkoxy, haloalkyl, haloalkoxy, etc.; R6 = H, alkyl, haloalkyl, (substituted) phenylalkyl; R7, R8 = H, alkyl, alkenyl, alkadienyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, phenylalkyl, naphthyl, heterocyclyl, etc.; R7R8N = atoms to form a 5-7 membered ring], were prepared Thus, Et 2,4,6-trifluoroacetate and Et 4-aminopyrimidine-5-carboxylate were heated together with NaOEt at 130° with distillation of EtOH to give 30% 6-(2,4,6-trifluorophenyl)pyrido[2,3-d]pyrimidin-5,7-diol. This was heated with POCl3 and PCl5 at 130° for 8 h to give 95% 5,7-dichloro-6-(2,4,6-trifluorophenyl)pyrido[2,3-d]pyrimidine. The latter at 250 ppm reduced incidence of Leptosphaeria nodorum infection on wheat to 3%, vs 80% for untreated controls.

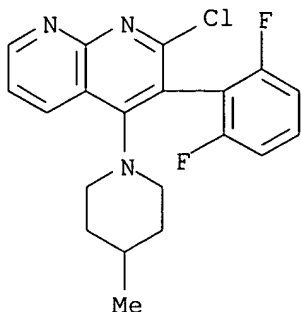
IT 835878-70-1P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridopyridines and pyridopyrimidines as agrochem. fungicides)

RN 835878-70-1 HCAPLUS

CN 1,8-Naphthyridine, 2-chloro-3-(2,6-difluorophenyl)-4-(4-methyl-1-piperidinyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:546506 HCAPLUS

DOCUMENT NUMBER: 141:89023

TITLE: A preparation of naphthyridine derivatives, useful as plant fungicides

INVENTOR(S): Crowley, Patrick Jelf; Dobler, Markus; Mueller, Urs; Williams, John

PATENT ASSIGNEE(S): Syngenta Limited, UK; Syngenta Participations A.-G.

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056824	A2	20040708	WO 2003-GB5248	20031203
WO 2004056824	A3	20041014		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2507670	AA	20040708	CA 2003-2507670	20031203
AU 2003292381	A1	20040714	AU 2003-292381	20031203
EP 1585746	A2	20051019	EP 2003-767958	20031203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

BR 2003017724 A 20051122 BR 2003-17724 20031203
PRIORITY APPLN. INFO.: GB 2002-30018 A 20021223
WO 2003-GB5248 W 20031203
OTHER SOURCE(S): MARPAT 141:89023
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

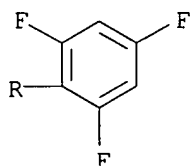
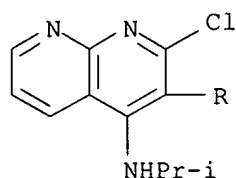
AB The invention relates to a preparation of naphthyridine derivs. of formula I [wherein: one of W, X, Y and Z is N and the others are CH, C-halo, etc.; when X is CH, Z is N, R is NHNH₂, R₁ is Ph and R₂ is Cl, W and Y are both CCH₃; one of R and R₂ is NH₂, N[alk(en/yn)yl]₂, or aryl, etc., and the other is halo, alkyl, alkoxy, etc.; R₁ is (hetero)aryl, morpholino, piperidino, or pyrrolidino], useful as plant fungicides. For instance, naphthyridine derivs. II (R₃ = Cl; R₄ = i-PrNH) and II (R₃ = i-PrNH, R₄ = Cl) were prepared via phenylacetylation of III (R₅ = NH₂) by 2,4,6-trifluorophenylacetyl chloride, intramol. heterocyclization of the obtained acetylaminonicotinate derivative III [R₅ = 2,4,6-trifluoro-C₆H₄CH₂C(O)NH], chlorination/aromatization of the obtained pyridotriazinedione derivative IV, and subsequent amination of the obtained dichloronaphthyridine derivative II (R₃ = R₄ = Cl) by i-PrNH₂ (example 1). For instance, naphthyridine derivative V gave greater than 60% control of disease (*Plasmopara viticola*).

IT 714963-53-8P 714963-54-9P 714963-59-4P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fungicidal naphthyridine derivs. from nicotinic acid derivs.)

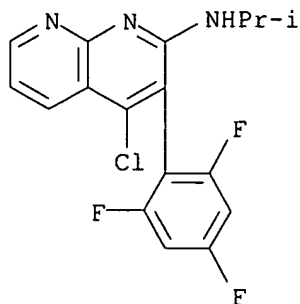
RN 714963-53-8 HCAPLUS

CN 1,8-Naphthyridin-4-amine, 2-chloro-N-(1-methylethyl)-3-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)

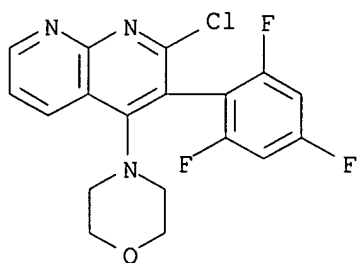


RN 714963-54-9 HCAPLUS

CN 1,8-Naphthyridin-2-amine, 4-chloro-N-(1-methylethyl)-3-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)



RN 714963-59-4 HCAPLUS
 CN 1,8-Naphthyridine, 2-chloro-4-(4-morpholinyl)-3-(2,4,6-trifluorophenyl)-
 (9CI) (CA INDEX NAME)



=> fil beilst

FILE 'BEILSTEIN' ENTERED AT 15:25:56 ON 23 MAR 2006

COPYRIGHT (c) 2006 Beilstein-Institut zur Foerderung der Chemischen Wissenschaften
 licensed to Beilstein GmbH and MDL Information Systems GmbH

FILE LAST UPDATED ON MARCH 15, 2006

FILE COVERS 1771 TO 2006.

*** FILE CONTAINS 9,516,393 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in
 separate documents and can not be searched together in one query.
 Reaction data for BEILSTEIN compounds may be displayed
 immediately with the display codes PRE (preparations) and REA
 (reactions). A substance answer set retrieved after the search
 for a chemical name, a compounds with available reaction
 information by combining with PRE/FA, REA/FA or more generally
 with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
 between a BEILSTEIN compound and belonging reactions. For mo
 detailed reaction searches BRNs can be searched as reaction
 partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

 * PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
 * SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
 * ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *

* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *

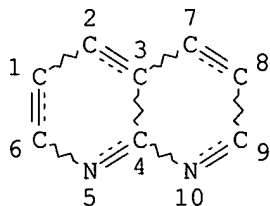
* FOR PRICE INFORMATION SEE HELP COST *

NEW

- * PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.
- * NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

=> d que stat l11

L1 STR



NODE ATTRIBUTES:

CONNECT IS E2 RC AT 1

CONNECT IS E2 RC AT 2

CONNECT IS E2 RC AT 6

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

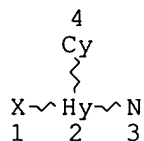
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L2 STR



NODE ATTRIBUTES:

NSPEC IS RC AT 3

CONNECT IS M2 RC AT 3

DEFAULT MLEVEL IS ATOM

GGCAT IS PCY UNS AT 2

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E8 C E2 N AT 2

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 4

STEREO ATTRIBUTES: NONE

L10 3 SEA FILE=BEILSTEIN SSS FUL L1 AND L2

L11 0 SEA FILE=BEILSTEIN ABB=ON PLU=ON L10/COM

=> fil marpat

FILE 'MARPAT' ENTERED AT 15:26:05 ON 23 MAR 2006
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2006 American Chemical Society (ACS)

FILE CONTENT: 1961-PRESENT VOL 144 ISS 12 (20060317/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

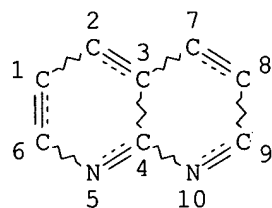
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
 (COVERAGE TO THESE DATES IS NOT COMPLETE):

US	2006035965	16	FEB	2006
DE	102004030305	12	JAN	2006
EP	1614691	11	JAN	2006
JP	2006008639	12	JAN	2006
WO	2006012333	02	FEB	2006
GB	2415429	28	DEC	2005
FR	2873371	27	JAN	2006
RU	2267521	10	JAN	2006
CA	2472818	30	DEC	2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> d que stat 119
 L1 STR



NODE ATTRIBUTES:

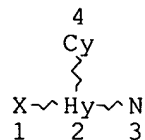
CONNECT IS E2 RC AT 1
 CONNECT IS E2 RC AT 2
 CONNECT IS E2 RC AT 6
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L2 STR

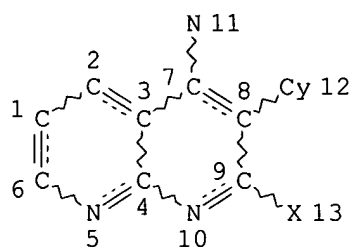


NODE ATTRIBUTES:

NSPEC IS RC AT 3
 CONNECT IS M2 RC AT 3
 DEFAULT MLEVEL IS ATOM
 GGCAT IS PCY UNS AT 2
 DEFAULT ECLEVEL IS LIMITED
 ECOUNT IS E8 C E2 N AT 2

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 4

STEREO ATTRIBUTES: NONE
 L4 4 SEA FILE=REGISTRY SSS FUL L1 AND L2
 L5 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L4
 L13 550 SEA FILE=MARPAT SSS FUL L1
 L17 STR



NODE ATTRIBUTES:
 NSPEC IS RC AT 11
 CONNECT IS E2 RC AT 1
 CONNECT IS E2 RC AT 2
 CONNECT IS E2 RC AT 6
 CONNECT IS M2 RC AT 11
 CONNECT IS E1 RC AT 12
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE
 L18 12 SEA FILE=MARPAT SUB=L13 SSS FUL L17
 L19 10 SEA FILE=MARPAT ABB=ON PLU=ON L18 NOT L5

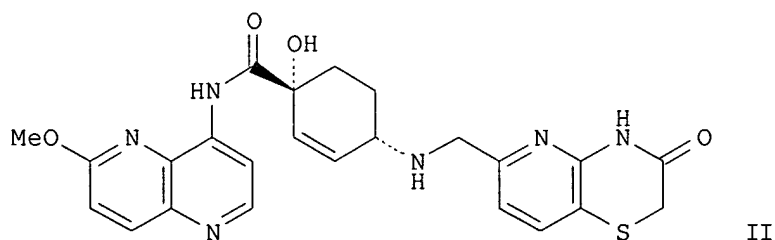
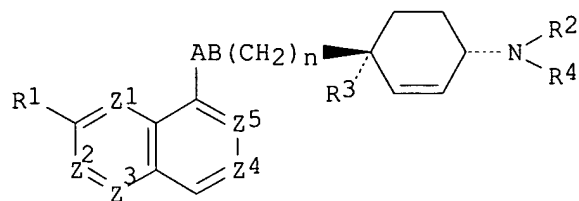
=> d 119 ibib abs qhit 1-10

L19 ANSWER 1 OF 10 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 140:199210 MARPAT
 TITLE: Preparation of aminocyclohexene-substituted quinolines
 and their azaisosteric analogues with antibacterial
 activity
 INVENTOR(S): Davies, David Thomas; Elder, John Stephen; Forrest,
 Andrew Keith; Jarvest, Richard Lewis; Pearson, Neil
 David; Sheppard, Robert John
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 60 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014361	A1	20040219	WO 2003-EP8153	20030723
WO 2004014361	C2	20040408		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003251474	A1	20040225	AU 2003-251474	20030723
EP 1539133	A1	20050615	EP 2003-784064	20030723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538125	T2	20051215	JP 2004-526773	20030723
US 2006040925	A1	20060223	US 2005-522058	20050714
PRIORITY APPLN. INFO.:			GB 2002-17294	20020725
			WO 2003-EP8153	20030723

GI



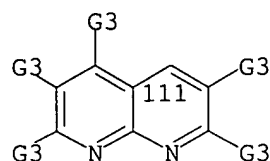
AB Title compds. I [one of Z1-5 = N, one = CR1a and the remainder are CH, etc.; R1-1a = H, OH, (un)substituted alkoxy, etc.; R2 = H, (un)substituted-alkyl, -alkenyl; R3 = OH, alkoxy, alkenyloxy, etc.; R4 = alkyl, hydroxyalkyl, alkoxyalkyl, heterocycle, etc.; n = 0-1; AB = amido, carboxamido, acyl, etc.] and there pharmaceutically acceptable salts are prepd and disclosed as antibacterial agents. For instance, 4-amino-1-hydroxycyclohex-2-enecarboxylic acid N-(6-methoxy[1,5]naphthyridin-4-yl)amide (preparation given) is reductively

alkylated with 3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxaldehyde to give II. II possessed an MIC of ≤ 2 $\mu\text{g/mL}$ against *S. epidermidis* CL7, *S. aureus* WCUH29, *S. pneumoniae* 1629, *S. pyogenes* CN10, *H. influenzae* ATCC 49247, *E. faecalis* 2, *M. catarrhalis* Ravasio, and *E. coli* 7623.

MSTR 1

G1—G2
I

G1 = 111



G2 = imidazolyl

G3 = F / piperidino

Patent location:

claim 1

Note:

also incorporates claims 13 and 14

Note:

additional derivatization also claimed

Note:

substitution is restricted

L19 ANSWER 2 OF 10 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 139:337959 MARPAT

TITLE: Preparation of nitrogen-containing bicyclic heterocycles for use as antibacterials

INVENTOR(S): Brooks, Gerald; Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Pearson, Neil David

PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK

SOURCE: PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

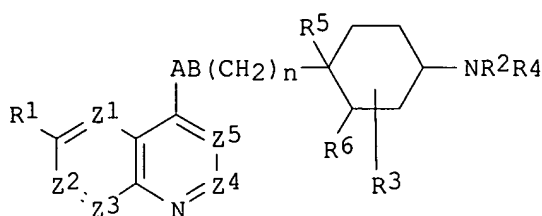
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

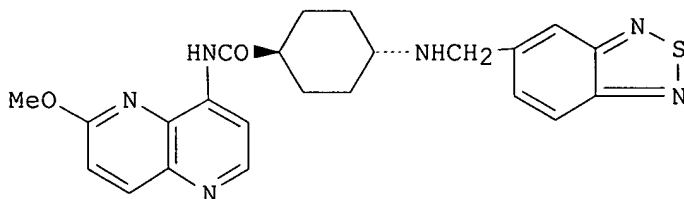
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087098	A1	20031023	WO 2002-EP5708	20020524
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448525	AA	20031023	CA 2002-2448525	20020524

AU 2002367697	A1	20031027	AU 2002-367697	20020524
EP 1399443	A1	20040324	EP 2002-807202	20020524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010016	A	20040615	BR 2002-10016	20020524
CN 1535272	A	20041006	CN 2002-814668	20020524
JP 2005519981	T2	20050707	JP 2003-584054	20020524
ZA 2003008696	A	20040521	ZA 2003-8696	20031107
US 2004171620	A1	20040902	US 2004-478154	20040406
PRIORITY APPLN. INFO.:			GB 2001-12834	20010525
			WO 2002-EP5708	20020524

GI



I



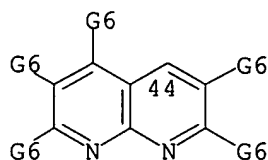
II

AB Naphthyridines I [one of Z1-Z5 = N, one = (un)substituted Ch, the others = CH; one of Z1-Z5 = (un)substituted Ch, the others = CH; R1 = H, OH, halogen, (un)substituted alkoxy, alkyl, alkylthio, CF3, NO2, N3, acyl, acyloxy, acylthio, alkylsulfonyl, alkylsulfinyl, arylsulfonyl, arylsulfinyl, amino; R2 = H, (un)substituted alkyl, alkenyl; R3 = H, CO2H, alkoxy, carbonyl, (un)substituted alkyl, CONH2, CN, tetrazolyl, 2-oxooxazolidinyl, 3-hydroxy-3-cyclobutene-1,2-dion-4-yl, 2,4-thiazolidinedion-5-yl, 1,2,4-triazol-5-yl, 5-oxo-1,2,4-oxadiazol-3-yl; R4 = (un)substituted alkyl, heterocyclic; R5, R6 = H; R5R6 = bond; AB = (un)substituted CONH, NHCO, COCH2, CH2CO, OCH2, CH2O, NHCH2, CH2NH, NHSO2, CH2SO2, CH2CH2; n = 0, 1] were prepared for use as bactericides. Thus, 2,1,3-benzothiadiazole-5-carboxylic acid was reduced to the alc., mesylated, and treated with the amine fragment, prepared from 5-amino-2-methoxypyridine in 5 steps, to give the naphthyridine II, which had IC50 against *Staphylococcus aureus* Oxford, several *S. pneumoniae* strains, and *Escherichia coli* strains of $\leq 4 \mu\text{g/mL}$.

MSTR 1

G1—G2

G1 = 44



G2 = imidazolyl

G6 = F / piperidino (opt. substd.)

Patent location: claim 1

Note: also incorporates claims 13, 14, and 15

Note: substitution is restricted

Note: additional ring formation also claimed

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 10 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 138:153541 MARPAT

TITLE: Preparation of N-(1,5-naphthyridin-4-yl)piperidine-4-carboxamide derivatives as antibacterial agents

INVENTOR(S): Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Pearson, Neil David

PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

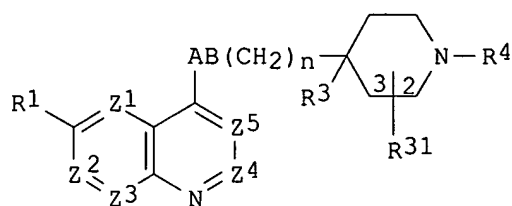
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003010138	A2	20030206	WO 2002-EP8319	20020725
WO 2003010138	A3	20031204		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1419155	A2	20040519	EP 2002-764786	20020725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504747	T2	20050217	JP 2003-515497	20020725
US 2004198756	A1	20041007	US 2004-484563	20040524
PRIORITY APPLN. INFO.:			GB 2001-18238	20010726
			WO 2002-EP8319	20020725

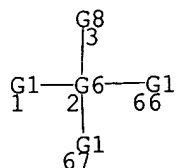
GI



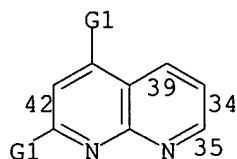
I

AB The title piperidine derivs. [I; one of Z1-Z5 is N, one is CR1a and the remainder are CH, or one or two of Z1-Z5 are independently CR1 a and the remainder are CH; R1, R1a = H, HO, C1-6 alkoxy optionally substituted by (un)substituted C1-6 alkoxy, amino, piperidyl, guanidino or amidino, C1-6 alkoxy-C1-6 alkyl, halo, C1-6 alkyl, C1-6 alkylthio, CF3, CF3O, etc.; R3 = CO2H, C1-6 alkoxy, (un)substituted CONH2, cyano, tetrazolyl, (un)substituted 2-oxooxazolidinyl, 3-hydroxy-3-cyclobutene-1,2-dione-4-yl, 2,4-thiazolidinedione-5-yl, tetrazol-5-ylaminocarbonyl, (un)substituted 1,2,4-triazol-5-yl, 5-oxo-1,2,4-oxadiazol-3-yl, (un)substituted C1-4 alkyl or ethenyl, halogen, C1-6 alkylthio, CF3, C1-6 alkoxy, C1-6 alkylcarbonyl, C2-6 alkenyloxy, C2-6 alkenyl, (un)substituted OH or NH2, etc.; R31 is in the 2- or 3-position and is hydrogen or a group listed above for R3, provided that R31 in the 2-position is not optionally substituted hydroxy, amino, trifluoromethyl or halogen; R4 = CH2R51, U-V-R52 (wherein R51 = C4-8 alkyl, hydroxy-C4-8 alkyl, C1-4 alkoxy-C4-8 alkyl, etc.; U = CO, SO2, CH2 and V = (un)substituted CH2; or U = CH2 and V = CO, (un)substituted C(:NOH), SO2; R52 = (un)substituted bicyclic carbocyclic or heterocyclic ring); n = 0,1; AB = (un)substituted NHCO, CONH, COCH2, CH2CO, OCH2, CH2O, NHCH2, CH2NH, NHSO2, CH2 SO2, CH2CH2] and pharmaceutically acceptable derivs. thereof are prepared. These compds. are useful in methods of treatment of bacterial infections in mammals, particularly man. Thus, 0.10 g 4-(6-methoxy-[1,5]naphthyridin-4-ylcarbonyl)-4-methylpiperidine and 0.095 g 2-(3-Oxo-3,4-dihydro-2H-benzo[1,4]thiazin-6-yl)ethyl methanesulfonate were stirred with 138 mg K2CO3 in 2 mL DMF at room temperature for 3 days to give 4-methyl-1-[2-(3-oxo-3,4-dihydro-2H-benzo[1,4]thiazin-6-yl)ethyl]piperidine-4-carboxylic acid (6-methoxy-[1,5]naphthyridin-4-yl)amide (II). II oxalate showed min. inhibitory concentration of ≤ 4 $\mu\text{g/mL}$ against *Staphylococcus aureus* Oxford, *S. aureus* WCUH29, *S. pneumoniae* 1629, *S. pneumoniae* N1387, *S. pneumoniae* ERY 2, *Enterococcus faecalis* I, *E. faecalis* 7, *Haemophilus influenzae* Q1, *H. influenzae* NEMC1, *Moraxella catarrhalis* 1502, and *Escherichia coli* 7623.

MSTR 1



G1 = F / piperidino
G6 = 42-1 39-3 34-66 35-67



G8 = imidazolyl

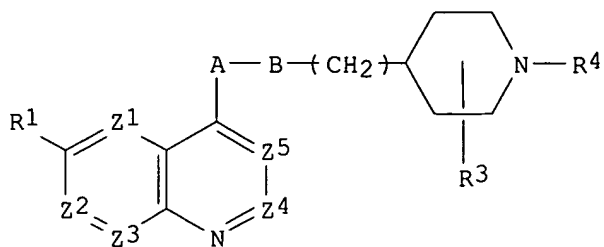
Patent location: claim 1
 Note: substitution is restricted
 Note: additional ring formation also claimed
 Note: also incorporates claim 13
 Note: and precursors
 Note: or pharmaceutically acceptable derivatives

L19 ANSWER 4 OF 10 MARPAT COPYRIGHT 2006 ACS on STN

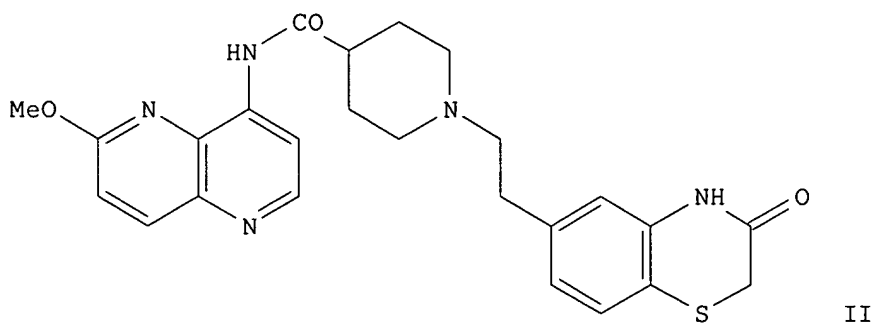
ACCESSION NUMBER: 138:14011 MARPAT
 TITLE: Preparation of bicyclic nitrogen-containing heterocyclic derivatives for use as antibacterials
 INVENTOR(S): Dartois, Catherine Genevieve Yvette; Markwell, Roger Edward; Madler, Guy Marguerite Marie Gerard; Pearson, Neil David
 PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
 SOURCE: PCT Int. Appl., 71 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096907	A1	20021205	WO 2002-EP5709	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1392686	A1	20040303	EP 2002-774022	20020524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534780	T2	20041118	JP 2003-500086	20020524
US 2004198755	A1	20041007	US 2004-477900	20040524
PRIORITY APPLN. INFO.:			GB 2001-12836	20010525
			WO 2002-EP5709	20020524

GI



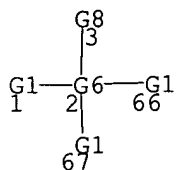
I



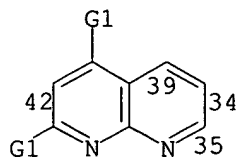
II

AB Piperidine derivs. and pharmaceutically acceptable derivs. [I; wherein one of Z^1 , Z^2 , Z^3 , Z^4 , Z^5 = N, one is CR^2 (wherein R^2 = H, OH, (C1-C6)alkoxy, etc.) and the remainder are CH, or one of Z^1 , Z^2 , Z^3 , Z^4 , Z^5 = CR^2 and the remainder are CH; R^3 = H, carboxy, (C1-C6)alkoxycarbonyl, aminocarbonyl, cyano, tetrazolyl, etc.; R^4 = U-V- R^5 , wherein U-V = $(CH_2)_2$, $CH_2CH(OH)$, CH_2CO , and R^5 is a (substituted) bicyclic carbocyclic or heterocyclic ring system] were prepared. For example, II was prepared by a multistep synthetic procedure. The prepared compds. are useful in the treatment of bacterial infections in mammals, particularly man. For example, compound II had MIC values ≤ 4 $\mu g/mL$ against *S. aureus* Oxford.

MSTR 1



G^1 = F / piperidino
 G^6 = 42-1 39-3 34-66 35-67



```

Patent location:      claim 1
Note:                 substitution is restricted
Note:                 additional ring formation also claimed
Note:                 also incorporates claim 13
Note:                 and precursors
Note:                 or pharmaceutically acceptable derivatives

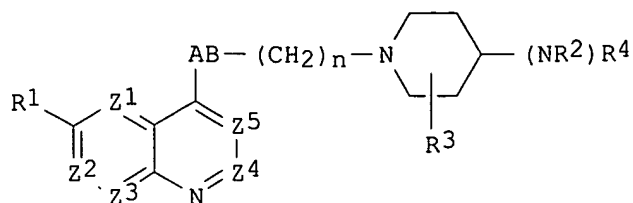
```

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

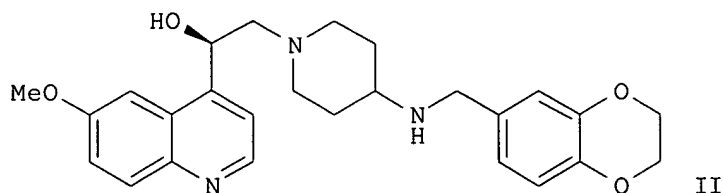
L19 ANSWER 5 OF 10 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 137:125092 MARPAT
 TITLE: Preparation of 4-piperidinylquinolines and
 nitrogenated analogs as antibacterial agents
 INVENTOR(S): Davies, David Thomas; Jones, Graham Elgin; Markwell,
 Roger Edward; Miller, William; Pearson, Neil David
 PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
 SOURCE: PCT Int. Appl., 94 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002056882	A1	20020725	WO 2002-EP587	20020122
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1359908	A1	20031112	EP 2002-702296	20020122
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004520360	T2	20040708	JP 2002-557390	20020122
US 2004138219	A1	20040715	US 2004-466394	20040126
PRIORITY APPLN. INFO.:			GB 2001-1577	20010122
			WO 2002-EP587	20020122

GI



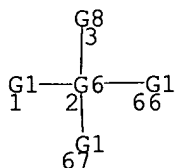
I



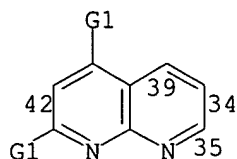
II

AB Title compds. I [wherein one of Z1-Z5 = N, one = CR1a, and the remainder = CH; or one of Z1-Z5 = CR1a and the remainder = CH; R1 and R1a = independently H, OH, or (un)substituted alkoxy; R2 = H or (un)substituted alkyl or alkenyl; R3 = H, carboxy, alkoxy, alkenyloxycarbonyl, or (un)substituted aminocarbonyl, alkyl, or ethenyl; R4 = UR5; U = CO, SO2, or CH2; R5 = (un)substituted bicyclic carbocyclic or heterocyclic ring; n = 0 and AB = (un)substituted NHCO, COCH2, CH2CO, NHSO2, CH2SO2, or CH2CH2; or n = 0 and AB = NHCO, COCH2, CH2CO, NHSO2, CONH, CH2CH2, OCH2, or NHCH2; with provisos; and pharmaceutically derivs. thereof] were prepared for the treatment of gram pos. and gram neg. bacterial infections in mammals, particularly in man. For example, quinone was treated with t-BuOK in t-BuOH and H2O to give 6-methoxyquinoline-4-carboxylic acid (46%), which was converted to (R)-2-(6-methoxyquinoline-4-yl)oxirane over several steps. Reaction with LiClO4 in anhydrous DMF, 4-tert-butoxycarbonylaminopiperidine•HCl, and K2CO3 with heating to 90° for 26 h afforded 4-tert-butoxycarbonylamino-1-[2-(R)-hydroxy-2-(6-methoxyquinoline-4-yl)ethyl]piperidine. Deprotection, condensation with 2,3-dihydrobenzo[1,4]dioxine-6-carboxaldehyde, and conversion to the salt gave II•2H2O•2CCO2H. The latter demonstrated antibacterial activity with MIC ≤ 0.125 μM against one or more of the gram pos. and gram neg. bacteria tested.

MSTR 1



G1 = F / piperidino
G6 = 42-1 39-3 34-66 35-67



G8 = imidazolyl

Patent location: claim 1
 Note: substitution is restricted
 Note: additional ring formation also claimed
 Note: also incorporates claim 16
 Note: and precursors

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 6 OF 10 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 137:63259 MARPAT
 TITLE: Preparation of piperazines as antibacterials.
 INVENTOR(S): Dartois, Catherine Genevieve Yvette; Markwell, Roger Edward; Morvan, Marcel; Nadler, Guy Marguerite Marie Gerard; Pearson, Neil David
 PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
 SOURCE: PCT Int. Appl., 55 pp.
 CODEN: PIXXD2

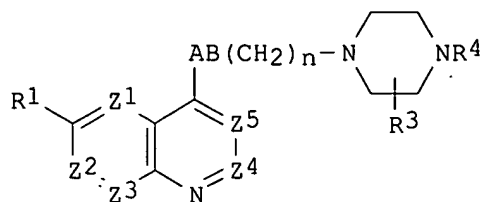
DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050061	A1	20020627	WO 2001-GB5653	20011219
WO 2002050061	C1	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002022287	A5	20020701	AU 2002-22287	20011219
EP 1343780	A1	20030917	EP 2001-271369	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004518661	T2	20040624	JP 2002-551557	20011219
US 2004077655	A1	20040422	US 2003-450884	20031113
PRIORITY APPLN. INFO.:			GB 2000-31088	20001220
			WO 2001-GB5653	20011219

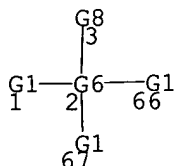
GI



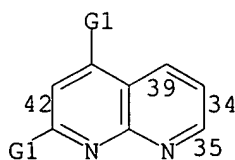
I

AB Title compds. [I; 1 of Z1-Z5 = N, 1 = CR1a, the remainder = CH, 1 of Z1-Z5 = CR1a and the remainder = CH; R1, R1a = H, OH, (substituted) alkoxy, alkoxyalkyl, amino, amidino, etc.; R3 = H, CO₂H, alkoxycarbonyl, cyano, tetrazolyl, (substituted) aminocarbonyl, etc.; R4 = UVR5; R5 = (substituted) bicyclic carbocyclyl, heterocyclyl; U = CO, SO₂, CH₂, and V = CR17R18; or U = CH₂ and V = CO, SO₂; R17, R18 = H, (substituted) OH, amino; n = 0, 1; AB = NR11CO, COCR8R9, NHR11SO₂, etc.; R8, R9 = H, alkoxy, alkylthio, halo, CF₃, N₃, alkyl, alkenyl, alkoxycarbonyl, alkylcarbonyl, R11 = H, CF₃, alkyl, alkenyl, alkoxycarbonyl, alkylcarbonyl, (substituted) aminocarbonyl; with provisos], were prepared Thus, (R)-1-[(6-methoxyquinolin-4-yl)-2-piperazin-1-yl]ethanol (preparation given), K₂CO₃, and 2-(2-bromoethyl)isoindole-1,3-dione were stirred 3 h in DMF to give 2-[2-[4-[(R)-2-OH-2-(6-methoxyquinolin-4-yl)ethyl]piperazin-1-yl]ethyl]isoindole-1,3-dione. I showed min. inhibitory concns. of ≤0.25 µg/mL against *S. aureus* Oxford, *H. influenzae* Q1, *E. faecalis* 7, etc.

MSTR 1



G1 = F / piperidino
G6 = 42-1 39-3 34-66 35-67



G8 = imidazolyl

Patent location:

Note:

Note:

Note:

Note:

claim 1

substitution is restricted

additional ring formation also claimed

also incorporates claim 12

and precursors

REFERENCE COUNT:

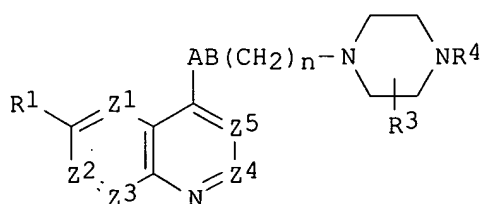
4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

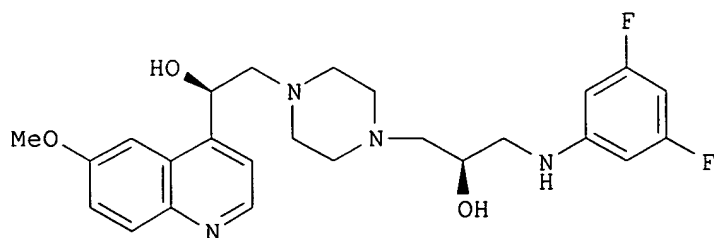
L19 ANSWER 7 OF 10 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 137:47229 MARPAT
 TITLE: Preparation of piperazinylalkylquinolines as
 antibacterial agents.
 INVENTOR(S): Markwell, Roger Edward; Pearson, Neil David;
 Smethurst, Christian
 PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
 SOURCE: PCT Int. Appl., 51 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050040	A1	20020627	WO 2001-GB5661	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002016214	A5	20020701	AU 2002-16214	20011219
EP 1343765	A1	20030917	EP 2001-271361	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004518660	T2	20040624	JP 2002-551537	20011219
US 2004077656	A1	20040422	US 2003-450892	20031113
PRIORITY APPLN. INFO.:			GB 2000-31086	20001220
			WO 2001-GB5661	20011219

GI



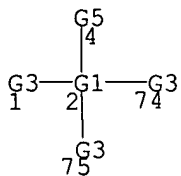
I



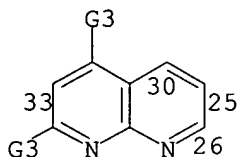
II

AB Title compds. [I; 1 of Z1-Z5 = H, 1 = CR1a, the rest = CH, or 1 of Z1-Z5 = CR1a, the remainder = CH; R1, R1a = H, OH, (substituted) alkoxy, etc.; R3 = H, CO2H, alkoxy, carbonyl, aminocarbonyl, etc.; R4 = VX1X2X3X4; V = CH2, CO, SO2; X1 = CR14R15; X2 = NR13, O, SO2, CR14R15; X3 = NR13, O, CR14R15; R14, R15 = H, alkoxy, alkylthio, CF3, cyano, alkyl, alkenyl, alkoxy, carbonyl, alkyl, carbonyl, etc.; R14R15 = O; R13 = H, CF3, alkyl, alkenyl, alkoxy, carbonyl, alkyl, carbonyl, aminocarbonyl; X4 = Ph, (substituted) heteroaryl, etc.; n = 0, 1; AB = NR11CO, NR11SO2, COR8R9, etc.; R8, R9 = H, alkoxy, alkylthio, halo, CF3, N3, alkyl, alkenyl, alkoxy, carbonyl, etc.; R11 = H, CF3, alkyl, alkenyl, alkoxy, carbonyl, alkyl, carbonyl, aminocarbonyl, etc.; with provisos], were prepared Thus, (R)-1-(6-methoxyquinolin-4-yl)-2-[4-(S)-1-oxiranylmethylpiperazin-1-yl]ethanol and 3,5-difluoroaniline were refluxed 8 h in EtOH to give 12% title compound (II). Several I had min. inhibitory concns. of <8 µg/mL against *S aureus* Oxford, *pneumoniae* 1629, etc.

MSTR 1



G1 = 33-1 30-4 25-74 26-75



G3 = F / piperidino

G5 = imidazolyl

Patent location:

claim 1

Note:

substitution is restricted

Note:

or pharmaceutically acceptable derivatives

Note:

additional substitution and ring formation also claimed

Note:

also incorporates claim 12

Note:

or precursors

REFERENCE COUNT:

10

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 8 OF 10 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 136:279352 MARPAT

TITLE: Preparation and biol. activity of aminopiperidine-containing

quinolines as antibacterial agents especially for use in humans

INVENTOR(S):

Davies, David Thomas; Markwell, Roger Edward; Pearson, Neil David

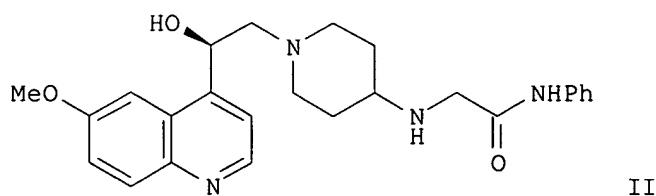
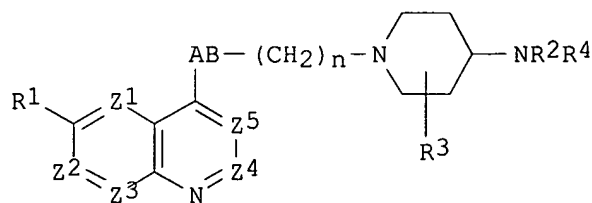
PATENT ASSIGNEE(S):

Smithkline Beecham P.L.C., UK

SOURCE: PCT Int. Appl., 90 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

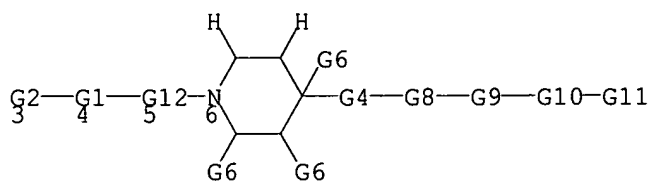
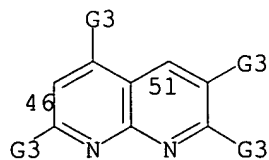
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024684	A1	20020328	WO 2001-EP10976	20010919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002018192	A5	20020402	AU 2002-18192	20010919
EP 1320529	A1	20030625	EP 2001-985253	20010919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509885	T2	20040402	JP 2002-529094	20010919
US 2004053928	A1	20040318	US 2003-380915	20030904
PRIORITY APPLN. INFO.:				
			GB 2000-23211	20000921
			GB 2001-1628	20010122
			WO 2001-EP10976	20010919

GI



AB Title compds. I [one of Z1-Z5 = N, one = CR1a and the remainder = CH; or one or two of Z1-Z5 = independently CR1a and the remainder = CH; R1a, R1 = H, OH, (substituted) alkoxy, halo, alkylthio, CF3, NO2, N3, acyl, acyloxy, acylthio, etc.; R2 = H, (substituted) alkyl, (substituted) alkenyl; R3 = H, CO2H, alkoxycarbonyl, (substituted) aminocarbonyl, alkyl, ethenyl, etc.; R4 = X1X2X3X4; X1 = CH2, CO, SO2; X2 = CR14R15; X3 = O, S, NR13, CR14R15; R14, R15 = H, halo, alkoxy, alkylthio, CF3, cyano, CO2H, formyl, NO2, amino, OH, alkoxy, aminocarbonyl, alkylsulfonyl, etc.; R14R15 = :O;

MSTR 1

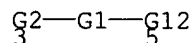

$$G1 = 46-3 \quad 51-5$$


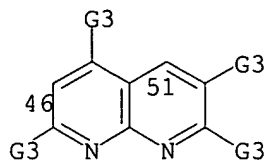
G3 = piperidino / F
G12 = 178-4 179-6

178 G13-G17 179

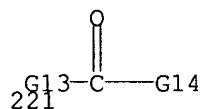
G13 = NH (opt. substd.)
 Patent location: claim 1
 Note: or pharmaceutically acceptable derivatives
 Note: substitution is restricted

MSTR 2

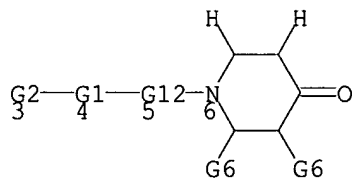

$$G1 = 46-3 \quad 51-5$$



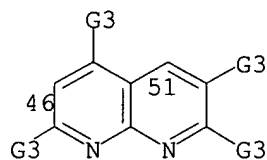
G3 = piperidino / F
G12 = 221



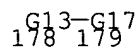
G13 = NH (opt. substd.)
Patent location: claim 13

MSTR 4

G1 = 46-3 51-5



G3 = piperidino / F
G12 = 178-4 179-6



G13 = NH (opt. substd.)
Patent location: claim 14

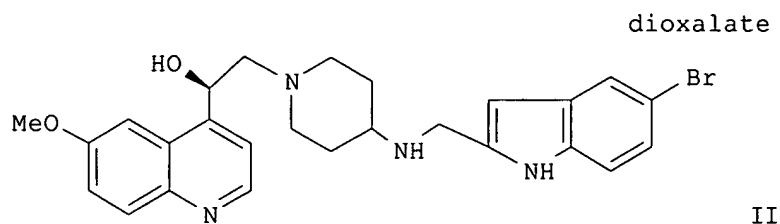
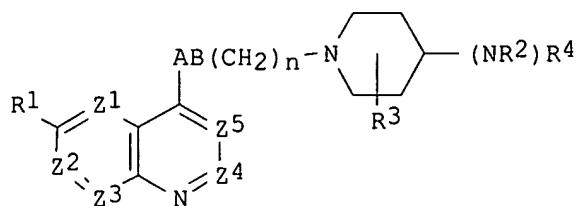
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 9 OF 10 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:151082 MARPAT
TITLE: Preparation of aminopiperidine quinolines and their azaisosteric analogs having antibacterial activity

INVENTOR(S): Davies, David Thomas; Jones, Graham Elgin; Lightfoot, Andrew P.; Markwell, Roger Edward; Pearson, Neil David
 PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
 SOURCE: PCT Int. Appl., 80 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

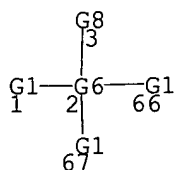
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008224	A1	20020131	WO 2001-EP8604	20010725
W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW		
RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
CA 2417192	AA	20020131	CA 2001-2417192	20010725
EP 1305308	A1	20030502	EP 2001-969509	20010725
R:		AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
BR 2001012750	A	20030909	BR 2001-12750	20010725
JP 2004504397	T2	20040212	JP 2002-514130	20010725
NZ 523749	A	20050324	NZ 2001-523749	20010725
ZA 2003000589	A	20040422	ZA 2003-589	20030122
NO 2003000345	A	20030310	NO 2003-345	20030123
US 2004038998	A1	20040226	US 2003-333829	20030828
US 6962917	B2	20051108		
US 2006014749	A1	20060119	US 2005-219148	20050902
PRIORITY APPLN. INFO.:			GB 2000-18351	20000726
			GB 2001-1629	20010122
			WO 2001-EP8604	20010725
			US 2003-333829	20030828

GI

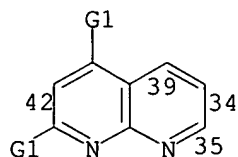


AB Aminopiperidine quinoline compds. I (Z1-Z5 = one is N, one (or two independently are) CR1a and the remainder are CH; R1 and R1a = independently are H, OH, NH2, CONH2, halogen, (un)substituted S and SO2, (un)substituted alkyl and alkoxy, etc.; R2 = H, (un)substituted alkyl or alkenyl; R3 = H, CO2H, (un)substituted amino, etc.; R4 = CO, SO2, CH2 attached to an optionally substituted bicyclic, carbocyclic or heterocyclic ring system; n = 0-1; AB = substituted N or C), their salts and pharmaceutically acceptable derivs. were prepared and found to be useful in treating bacterial infections in mammals, especially humans. Thus II was prepared from 4-amino-1-[2-(R)-hydroxy-2-(6-methoxyquinolin-4-yl)]ethylpiperidine and 5-bromo-1H-indole-2-carboxaldehyde and was determined to have an MIC less than or equal to 32µg/mL against one or more of gram pos. and neg. bacteria such as *S. aureus* Oxford and WCUH29 and *S. pneumoniae* 1629, N1387 and ERY 2.

MSTR 1



G1 = F / piperidino
G6 = 42-1 39-3 34-66 35-67



G8 = imidazolyl

Patent location: claim 1
 Note: substitution is restricted
 Note: additional ring formation also claimed
 Note: also incorporates claim 13
 Note: and precursors

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 10 OF 10 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 126:207509 MARPAT

TITLE: Heterocyclic hypoxia-selective cytotoxins with
 affinity for DNA, compound preparation, and usefulness
 as chemosensitizers and radiosensitizers

INVENTOR(S): Papadopoulou-Rosenzweig, Maria V.; Bloomer, William D.

PATENT ASSIGNEE(S): Evanston Hospital Corporation, USA

SOURCE: U.S., 39 pp.
 CODEN: USXXAM

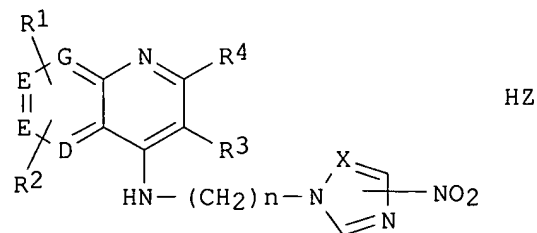
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

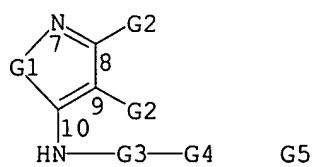
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5602142	A	19970211	US 1994-361220	19941221
US 5958947	A	19990928	US 1996-741328	19961028
PRIORITY APPLN. INFO.: GI			US 1994-361220	19941221



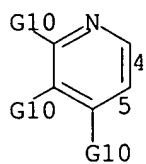
I

AB Hypoxia-selective cytotoxins I [D, E, F, G = C, N, provided that ≥ 3 of D, E, F and G are C; R1, R2 = Me, halo, H, CF3, MeO, CN, methylsulfo; R3, R4 = Me, Et, Ph, naphthyl, tert-Bu, halo, halomethylene, H, CF3, CN, methylsulfo, or R3 and R4 taken together are (un)substituted 5- or 6-membered nonarom. ring system; n = 1-5; X = C, N; Z = physiol. acceptable anion], are disclosed. The compds. are useful as radiosensitizers or chemosensitizers, especially in the treatment of cancer patients.

MSTR 2B



G1 = 4-7 5-10



G2 = Ph / Cl
Patent location:

disclosure